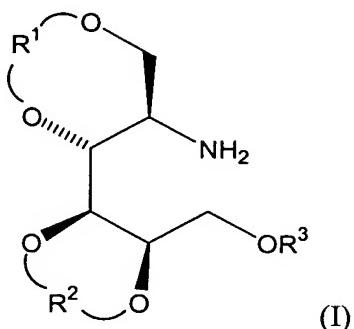


## CLAIMS

1. A process for preparing a compound of formula (I), or a salt thereof:



where  $R^1$  and  $R^2$  are each independently protecting groups which, together with the oxygen atoms to which they are attached, form a 5-, 6-, 7- or 8-membered ring; and  $R^3$  is hydrogen or a protecting group;

including the steps of:

- (a) protecting the hydroxyl group at the C-6 position of an *N*-protected-D-mannosamine, to give a 6-*O*-protected-*N*-protected-D-mannosamine;
- (b) reducing the C-1 anomeric carbon atom of the 6-*O*-protected-*N*-protected-D-mannosamine to give a 6-*O*-protected-*N*-protected-D-mannitol;
- (c) protecting the four hydroxyl groups of the 6-*O*-protected-*N*-protected-D-mannitol;
- (d) removing the nitrogen atom protecting group and optionally removing the C-6 oxygen atom protecting group to give the compound of formula (I).

2. A process according to claim 1, where the *N*-protected-D-mannosamine is an *N*-acyl-D-mannosamine.

3. A process according to claim 2, where the *N*-protected-D-mannosamine is *N*-acetyl-D-mannosamine.

4. A process according to claim 1 where  $R^1$  and  $R^2$ , together with the oxygen atoms to which they are attached, each independently form part of a dioxane or a dioxolane ring.

5. A process according to claim 4 where R<sup>1</sup> and R<sup>2</sup> are both isopropylidene protecting groups.

6. A process according to claim 1 where the hydroxyl group at the C-6 position of the N-protected-D-mannosamine in step (a) is protected using a silylating agent.

7. A process according to claim 1 where the C-1 anomeric carbon atom of the 6-O-protected-N-protected-D-mannosamine is reduced in step (b) using a metal hydride reducing agent or by hydrogenation using hydrogen gas and a metal catalyst.

8. A process according to claim 1 where 2,2-dimethoxypropane in the presence of acetone is used to protect the four hydroxyl groups of the 6-O-protected-N-protected-D-mannitol in step (c), to give a 1:3,4:5-di-O-isopropylidene-D-mannitol.

9. A process according to claim 1 where both the nitrogen atom protecting group and the C-6 oxygen atom protecting group are removed in step (d).

10. A process according to claim 1 further including the preparation of kifunensine from the compound of formula (I).

11. A process according to claim 10 including the steps of:

- (e) oxamoylation of the compound of formula (I) to give a 2-oxamoylamino-D-mannitol;
- (f) removal of the R<sup>3</sup> protecting group, where R<sup>3</sup> is not H;
- (g) oxidation of the C-6 carbon atom to give a 2-oxamoylamino-D-mannose;
- (h) double cyclisation of the 2-oxamoylamino-D-mannose to give kifunensine with four protected hydroxyl groups; and
- (i) removal of the four hydroxyl protecting groups to give kifunensine.

12. A process according to claim 11 where the removal of the R<sup>3</sup> protecting group in step (f) is carried out after the oxamoylation step (e).

13. A process according to claim 11 where the removal of the R<sup>3</sup> protecting group in step (f) is carried out after the oxamoylation step (e) and before the oxidation step (g).

14. A process according to claim 11 where oxamic acid and 1,1'-carbonyldiimidazole are used for the oxamoylation of the compound of formula (I) in step (e).

15. A process according to claim 11 where the oxamoylation step (e) is a direct coupling of the compound of formula (I) with ethyl oxamate, oxalic acid mono-n-butyl ester or di-n-butyl oxalate.

16. A process according to claim 11 where pyridinium dichromate in the presence of activated molecular sieves and pyridinium trifluoroacetate is used for the oxidation of the C-6 carbon atom in step (g).

17. A process for preparing kifunensine including the steps of:

- (a) silylation of *N*-acetyl-D-mannosamine using *tert*-butyldiphenylsilyl chloride as silylating agent, to give 6-*O*-*tert*-butyldiphenylsilyl-2-deoxy-2-acetylamino-D-mannose;
- (b) reduction of 6-*O*-*tert*-butyldiphenylsilyl-2-deoxy-2-acetylamino-D-mannose using sodium borohydride as reducing agent, to give 6-*O*-*tert*-butyldiphenylsilyl-2-deoxy-2-acetylamino-D-mannitol;
- (c) protection of the four hydroxy groups of 6-*O*-*tert*-butyldiphenylsilyl-2-deoxy-2-acetylamino-D-mannitol using 2,2-dimethoxypropane in the presence of acetone, to give 6-*O*-*tert*-butyldiphenylsilyl-2-deoxy-1,3:4,5-di-*O*-isopropylidene-2-acetylamino-D-mannitol;
- (d) double deprotection of the 6-*O*- and *N*-protecting groups of 6-*O*-*tert*-butyldiphenylsilyl-2-deoxy-1,3:4,5-di-*O*-isopropylidene-2-acetylamino-D-mannitol using aqueous barium hydroxide, to give 2-amino-2-deoxy-1,3:4,5-di-*O*-isopropylidene-D-mannitol;
- (e) oxamoylation of 2-amino-2-deoxy-1,3:4,5-di-*O*-isopropylidene-D-mannitol using oxamic acid and 1,1'-carbonyldiimidazole, to give 2-deoxy-1,3:4,5-di-*O*-isopropylidene-2-oxamoylamino-D-mannitol;

- (f) oxidation of 2-deoxy-1,3:4,5-di-*O*-isopropylidene-2-oxamoylamino-D-mannitol using pyridinium dichromate in the presence of activated molecular sieves and pyridinium trifluoroacetate, to give 5-deoxy-2,3:4,6-di-*O*-isopropylidene-2-oxamoylamino-D-mannose;
- (g) double cyclisation of 5-deoxy-2,3:4,6-di-*O*-isopropylidene-2-oxamoylamino-D-mannose using a methanolic ammonia solution, to give 2,3:4,6-di-*O*-isopropylidene-kifunensine; and
- (h) deprotection of 5,6:7,8-di-*O*-isopropylidene-kifunensine, using methanolic hydrochloric acid, to give kifunensine.

18. In a process for preparing kifunensine, the improvement comprising preparing kifunensine from a compound of formula (I) as defined in claim 1.